

Application No. 09/211,715  
Reply to Advisory Action of July 2, 2003

September 10, 2003  
S&L File No. P26,835-D USA

**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

Claims 1-6 (Canceled)

Claim 7 (Original): A compound selected from the group consisting of  
CF<sub>3</sub>C(O) - (iBu) Phe (NH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac-pAph-Ile-Arg-Leu-Pro-NH<sub>2</sub>; CF<sub>3</sub>C(O) - (iBu) Nal (2) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac-Phe (3I,4NH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>; CF<sub>3</sub>C(O) -Tyr-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
(5-benzimidazolyl) -Phe (NH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
CF<sub>3</sub>C(O) - (iBu) Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac- (Chx-CH<sub>2</sub>) Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>; D-Tyr-Chg-Arg-Leu-Pro-NH<sub>2</sub>; and  
Ac-Trp-Chg-Arg-Leu-Pro-NH<sub>2</sub>.

Claim 8 (Currently amended): The compound selected from the group  
consisting of (2-benzofuroyl)-Tyr-Chg-Arg-Pen-Pro-NH<sub>2</sub>;  
(2-benzofuroyl) -pAph-Chg-PalMe(3) -Pen (CH<sub>2</sub>COOH) -Pro-NH<sub>2</sub>;  
Ac-pAph-Chg-Arg-Cys (CH<sub>2</sub>COOH) -Pro-NH<sub>2</sub>;  
(Alloc) -pAph-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
(2-benzofuroyl) -pAph-Chg-Arg-Pen (CH<sub>2</sub>COOH) -Pro-NH<sub>2</sub>;  
Ac-pAph-Chg-PalMe(3) -Pen (CH<sub>2</sub>COOH) -Pro-NH<sub>2</sub>;  
Ac-pAph-Chg-Arg-Leu-Pro-NH<sub>2</sub>; Ac-pAph-Chg-Arg- (HOOC-CH<sub>2</sub>) Gly-Pro-NH<sub>2</sub>;  
Ac-pAph-Chg-Arg (HOOC-CH<sub>2</sub>-CH<sub>2</sub>) Gly-Pro-NH<sub>2</sub>;

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Ac-pAph-Chg-Arg-Gla-Pro-NH<sub>2</sub>; ~~Ac-pAph-Chg-Arg-Cys (CH<sub>2</sub>-COOH)-Pro-NH<sub>2</sub>;~~  
 Ac-Pal(4)Me-Chg-Arg-Leu-Pro-NH<sub>2</sub>; Ac- (iBu) Nal (2) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac-Phe (p-CONH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac-pAph-Chg-Arg-N [1(1,3-dicarboxy) propyl] Gly-Pro-NH<sub>2</sub>;  
 Ac-pAph-Chg-Dap (CH=N(CH<sub>3</sub>)<sub>2</sub>) -Leu-Pro-NH<sub>2</sub>;  
 (2-quinolinoyl) -Phe (NH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac-pAph-Chg-Arg-N (carboxymethyl) Gly-Pro-NH<sub>2</sub>;  
 Ac-pAph-Chg-Arg- (carboxyethyl) Gly-Pro-NH<sub>2</sub>; Ac-mAph-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Alloc-pAph-Chg-PalMe(3) -Pen (CH<sub>2</sub>COOH)-Pro-NH<sub>2</sub>;  
~~Ac-pAph-Chg-Arg-N [1(1,3-dicarboxy) propyl] Gly-Pro-NH<sub>2</sub>;~~  
 Ac-pAph-Ile-Arg-Leu-Pro-NH<sub>2</sub>; Ac-Phe (pNH<sub>2</sub>) -Chg-Arg- (Me) Leu-Pro-NH<sub>2</sub>;  
 Ac- (Chx-CH<sub>2</sub>) Tyr-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 (3-pyridoyl) -Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 (3-pyridoyl) -Nal (2) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac-Pal(4)Me-Chg-Pal(4)Me-Leu-Pro-NH<sub>2</sub>; ~~Alloc-pAph-Chg-Arg-Leu-Pro-NH<sub>2</sub>;~~  
 (4-isoquinolinoyl) -Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac-pAph-Cha-PalMe(3) - (Me) Leu-Pro-NH<sub>2</sub>;  
 Ac-pAph-Chg-PalMe(3) -Leu-Pro-NH<sub>2</sub>;  
 (2-naphthyl-CH<sub>2</sub>) Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 (5-pyrazinoyl) Nal (2) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 (Benzoyl) - Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac- (2-methylpentanyl) -Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>;  
 (2-pyridonyl) Phe (pNH<sub>2</sub>) Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
~~(Benzoyl)-Phe (pNH<sub>2</sub>)-Chg-Arg-Leu-Pro-NH<sub>2</sub>;~~  
 Ac- (2-methylpentyl) Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>;  
 Ac- (iBu) Phe (pCN) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;

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Ac- (2-methylbutyl) Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac-Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>; Ac-Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Hyp-NH<sub>2</sub>;  
Ac-Tyr-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
(2-naphthylsulfonyl) -Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
(2-methylbenzyl) -Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
(2-benzofuroyl) -Phe(pNH<sub>2</sub>) -Chg-Dab (CH=N(CH<sub>3</sub>)<sub>2</sub>) -Leu-Pro-NH<sub>2</sub>;  
Ac- (cyclopentenyl-CH<sub>2</sub>) Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac-Pal (4) Me-Chg-PalMe(3) -Leu-Pro-NH<sub>2</sub>;  
Ac- (iBu) -Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>; and  
Ac- (Chx-CH<sub>2</sub>) -Tyr-Ile-Arg-Leu-Pro-NH<sub>2</sub>.

Claim 9 (Previously presented): A compound selected from the group consisting of Ac-pAph-Chg-Arg-Leu-NH<sub>2</sub> and Ac-pAph-Chg-Arg-Leu.

Claim 10 (Previously presented): A compound selected from the group consisting of (2-benzofuroyl) -pAph-Chg-PalMe(3) -NH<sub>2</sub> and Ac- (iBu) Phe (pNH<sub>2</sub>) -Chg-Arg-NH<sub>2</sub>.

Claim 11 (Currently amended): A compound selected from the group consisting of Alloc-pAph-Chg-PalMe(3) -NH<sub>2</sub>;  
(2-quinolinoyl) -pAph-Chg-PalMe(3) -NH<sub>2</sub>;  
Ac-pAph-Chg-PalMe(3) -NH (1-methoxycarbonyl) -1-cyclohexyl;  
Ac-pAph-Chg-Arg-NH<sub>2</sub>; (2-pyridoyl) -pAph-Chg-PalMe(3) -NH<sub>2</sub>;  
CF<sub>3</sub>C(O) - (iBu) Phe (pNH<sub>2</sub>) -Chg-Arg-NH<sub>2</sub>;  
Ac-pAph-Chg-PalMe(3) -NH- (1-methoxycarbonyl) -1-cyclopentyl;  
Ac-pAph-Chg-PalMe(3) -NH- (4-methoxycarbonyl-cyclohexyl) methyl;

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Ac-pAph-Chg-PalMe(3) -NH- (3-thienyl-2-carboxylic acid methyl ester);  
~~Ac-pAph-Chg-Arg-NH<sub>2</sub>; CF<sub>3</sub>C(O) - (iBu) Tyr-Chg-Arg- OH COOH;~~  
~~Ac-pAph-Chg-PalMe(3) -NH- (4-methoxycarbonyl-cyclohexyl) methyl;~~  
Ac-pAph-Chg-PalMe(3) -NH<sub>2</sub>; Ac-pAph-Chg-Pal(3) (CH<sub>2</sub>COOH) -NH<sub>2</sub>;  
(2-quinolinecarboxy) -pAph-Chg-PalMe(3)-NH<sub>2</sub>;  
Ac-pAph-Chg-PalMe(3) -NH- (4-carboxycyclohexyl) methyl; and  
CF<sub>3</sub>C (O) (iBu) -Tyr-Ile-Arg-NH<sub>2</sub>.

Claims 12-19 (Canceled)

Claim 20 (Previously presented): A compound selected from the group consisting of Ac-*D*-pAph-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Chg-Arg-Gla-Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Chg-Arg-Cys (CH<sub>2</sub>-COOH) -Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Chg-Arg-N (carboxymethyl) Gly-Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Chg-Arg- (carboxyethyl) Gly-Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Chg-Arg-N [1(1,3-dicarboxy) propyl] Gly-Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Ile-Arg-Leu-Pro-NH<sub>2</sub>; Alloc-*D*-pAph-Chg-Arg-Leu-Pro-NH<sub>2</sub>;  
Ac-*D*-pAph-Chg-PalMe(3) -Leu-Pro-NH<sub>2</sub>; and Ac-*D*-pAph-Chg-Arg-NH<sub>2</sub>.

Claim 21 (Previously presented): A compound  
Ac-*D*-pAph-Chg-PalMe(3) -Leu-Pro-NH<sub>2</sub>.

Claim 22 (Previously presented): A compound  
Ac-*D*-pAph-Chg-PalMe(3) -NH<sub>2</sub>.

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Claim 23 (Original): A compound Ac-Phe (pNH<sub>2</sub>) -Chg-Arg-Leu-Pro-NH<sub>2</sub>.

Claim 24 (Canceled)

Claim 25 (Previously presented): A method of specifically inhibiting the activity of Factor Xa, comprising contacting the factor Xa with the compound as in claims 7, 8, 9, 10, 11, 20, 21, 22, or 23.

Claim 26 (Canceled)